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(FILE 'HOME' ENTERED AT 15:13:25 ON 10 NOV 2009)

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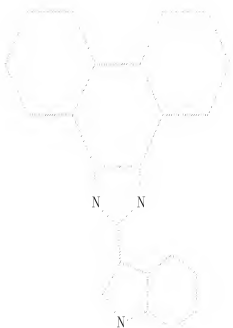
L1 STRUCTURE UPLOADED

L2 4 S L1

L3 91 S L1 FULL

=> d que 13 stat

L1 STR



Structure attributes must be viewed using STN Express query preparation.

L3 91 SEA FILE=REGISTRY SSS FUL L1

100.0% PROCESSED 136 ITERATIONS

91 ANSWERS

SEARCH TIME: 00.00.01

=> s 13 and caplus/lc

68987355 CAPLUS/LC

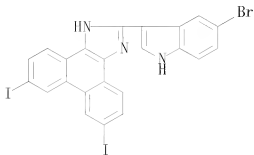
L4 90 L3 AND CAPLUS/LC

=> s 13 not 14

L5 1 L3 NOT L4

=> d ide can

L5 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2009 ACS on STN  
RN 416872-13-4 REGISTRY  
ED Entered STN: 16 May 2002  
CN 1H-Phenanthro[9,10-d]imidazole, 2-(5-bromo-1H-indol-3-yl)-6,9-diiodo- (CA  
INDEX NAME)  
MF C23 H12 Br I2 N3  
SR Chemical Library  
Supplier: ChemBridge Corporation  
LC STN Files: CHEMCATS



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

=> fil capl  
FILE 'CAPLUS' ENTERED AT 15:15:54 ON 10 NOV 2009  
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FILE COVERS 1907 - 10 Nov 2009 VOL 151 ISS 20  
FILE LAST UPDATED: 9 Nov 2009 (20091109/ED)  
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009  
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

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'FIONA' IS DEFAULT FORMAT FOR 'CAPLUS' FILE

=> s l3  
L6 5 L3  
=> d 1-5 bib abs hitstr

L6 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:1253138 CAPLUS

DN 146:27831

TI 2-Indolylimidazo[4,5-d]phenanthroquinoline derivatives and their preparation, pharmaceutical compositions and use in the treatment of cancer

IN Huesca, Mario; Young, Aiping H.; Lee, Yoon; Khine, Aye Aye; Wright, Jim A.; Lock, Lisa

PA Lorus Therapeutics Inc., Can.

S0 PCT Int. Appl., 237 pp.

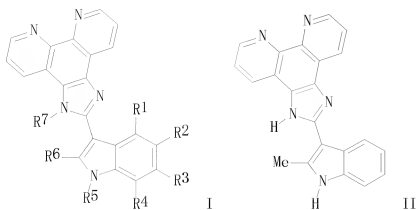
CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006126177	A2	20061130	WO 2006-IB51675	20060525
	WO 2006126177	A3	20070329		
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	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	AU 2006250809	A1	20061130	AU 2006-250809	20060525
	CA 2611032	A1	20061130	CA 2006-2611032	20060525
	EP 1915374	A2	20080430	EP 2006-756007	20060525
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	JP 2008542259	T	20081127	JP 2008-513005	20060525
	CN 101248072	A	20080820	CN 2006-80023377	20071227
PRAI	US 2005-684162P	P	20050525		
	US 2005-710551P	P	20050822		
	US 2006-787526P	P	20060331		
	WO 2006-IB51675	W	20060525		
OS	CASREACT 146:27831; MARPAT 146:27831				
GI					



AB 2-Indolylimidazo[4,5-d]phenanthroline compds. of formula I that are capable of intracellular chelation of transition metals and of exerting antiproliferative effects in cancer cells, that are cytostatic and/or cytotoxic, are provided. Compds. of formula I can also induce apoptosis in cancer cells and are thus capable of exerting a cytotoxic effect on cancer cells. The compds. of formula I are also capable of selectively inhibiting the proliferation of one or more of prostate cancer cells, colon cancer cells, non-small lung cancer cells and leukemia cells. The compds. of formula I are also capable of increasing the expression of the zinc-regulated tumor suppressor, KLF4 and thus are useful in inhibiting the proliferation of cancer cells in which KLF4 functions as a tumor-suppressor, including, but not limited to, bladder cancer, cancers of the gastrointestinal tract and various leukemias. Compds. of formula I wherein R1-R4, R6, and R6 are independently H, halo, OH, SH, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted lower alkynyl, alkoxy, alkylthio, acyl aryloxy, amino, amido, etc.; R5 is H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted (hetero)aryl, acyl, etc.; and their salts are claimed. Example compound II was prepared by cyclization of phenanthroquinoline with 2-methylindole-3-carboxylic acid. All the invention compds. were evaluated for their antiproliferative activity. From the assay, it was determined that compound II exhibited an IC50 value of 0.6 µg/mL.

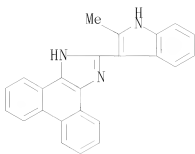
IT 662151-09-9P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indolylimidazophenanthroquinoline derivs. and their use in the treatment of cancer)

RN 662151-09-9 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole, 2-(2-methyl-1H-indol-3-yl)- (CA INDEX NAME)



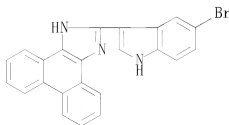
RE. CNT 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN  
 AN 2006:497098 CAPLUS  
 DN 145:443625  
 TI Liposome formulation of a novel hydrophobic aryl-imidazole compound for anti-cancer therapy  
 AU Liu, Jubo; Lee, Helen; Huesca, Mario; Young, Aiping; Allen, Christine  
 CS Department of Pharmaceutical Sciences, University of Toronto, Toronto, ON, M5S 2S2, Can.  
 SO Cancer Chemotherapy and Pharmacology (2006), 58(3), 306-318  
 CODEN: CCPHDZ; ISSN: 0344-5704  
 PB Springer  
 DT Journal  
 LA English  
 AB Purpose: A cholesterol-free liposome formulation formed from mixts. of egg phosphatidylcholine (ePC) and poly (ethylene glycol) conjugated distearoylphosphatidylethanolamine (DSPE-PEG 2000) was optimized and evaluated for delivery of a novel anti-cancer agent ML220 (2-(5-bromo-1H-indol-3-yl)-1H-phenanthro [9,10-d] imidazole). Results and Discussion: ML220 is highly lipophilic with a water solubility of 0.14 µg/mL and calculated log P of 5.69. The ML220-loaded liposomes had a unimodal size-distribution and a mean diameter of 89 nm. The drug to lipid ratio in the formulation was 1:3.5 (mol:mol) and the drug loading efficiency was 83% providing a more than 50,000-fold increase in the water solubility of ML220. The formulation was demonstrated to be stable in vitro at 37° C for over 2 wk with a delayed drug release profile. Evaluation of the subacute toxicity of the liposome formulated drug in C3H mice revealed no overt signs of toxicity. Also, a biexponential drug plasma concentration pattern was found upon evaluation of the pharmacokinetics in Balb/C mice. The in vivo evaluation of the anti-cancer activity in a human colon HT29 carcinoma model revealed a significant delay in tumor growth. Conclusion: Overall, the ePC/DSPE-PEG liposomes were demonstrated to be a suitable delivery system for ML220. These studies also highlight the potential of cholesterol-free liposomes as a formulation strategy for highly lipophilic drugs.

IT 662151-10-2  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (cholesterol-free liposome formulation of egg phosphatidylcholine and DSPE-PEG 2000 were demonstrated to be suitable delivery system for ML220 which showed anti-cancer activity against human colon adenocarcinoma cells bearing mouse)

RN 662151-10-2 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole, 2-(5-bromo-1H-indol-3-yl)- (CA INDEX NAME)



RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



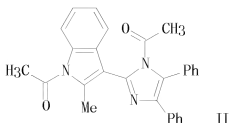
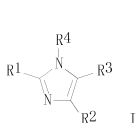
L6 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN  
 AN 2005:451366 CAPLUS  
 DN 143:7711  
 TI Preparation of 2,4,5-trisubstituted imidazoles and their use as anticancer agents  
 IN Huesca, Mario; Al-Qawasmeh, Raed; Young, Aiping H.; Lee, Yoon  
 PA Lorus Therapeutics Inc., Can.  
 SO PCT Int. Appl., 184 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005047266	A1	20050526	WO 2004-1B52433	20041115
	WO 2005047266	A9	20080417		
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	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA			
	AU 2004289539	A1	20050526	AU 2004-289539	20041115
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	EP 1692113	A1	20060823	EP 2004-799154	20041115
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS			
	JP 2007511504	T	20070510	JP 2006-539065	20041115
	US 20070123553	A1	20070531	US 2007-579149	20070119
	US 20080262015	A9	20081023		
PRAI	US 2003-520279P	P	20031114		
	US 2004-599509P	P	20040806		
	WO 2004-1B52433	W	20041115		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 143:7711

GI



AB Title compds. I [R1 = aryl, heterocycle, etc.; R2-3 = aryl, heterocaryl,

etc.; R4 = H, halo, OH, SH, alkyl, etc.] are prepared For instance, 2-methyl-3-formylindole, benzil and NH4OAc is reacted to give the corresponding 4,5-diphenyl-2-(2-methylindol-3-yl)imidazole. The bis(N-acetyl)derivative (1I) showed minimal inhibition of proliferation of human colon carcinoma (HT-29) cells whereas selected examples showed significantly greater inhibition. I are useful alone or in combination with other agents for the treatment of cancer.

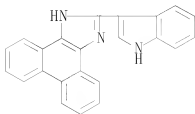
IT	<u>296793-77-6P</u>	<u>330449-59-7P</u>	<u>662151-09-9P</u>
	<u>662151-10-2P</u>	<u>662151-11-3P</u>	<u>662151-16-8P</u>
	<u>852107-93-8P</u>	<u>852107-94-9P</u>	<u>852108-10-2P</u>
	<u>852109-38-7P</u>	<u>852109-39-8P</u>	<u>852109-45-6P</u>
	<u>852109-52-5P</u>	<u>852109-53-6P</u>	<u>852109-54-7P</u>
	<u>852147-15-0P</u>	<u>852147-16-1P</u>	<u>852147-19-4P</u>
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	<u>852147-70-7P</u>	<u>852147-71-8P</u>	<u>852147-72-9P</u>
	<u>852147-78-5P</u>	<u>852147-79-6P</u>	<u>852147-80-9P</u>
	<u>852147-81-0P</u>	<u>852147-82-1P</u>	<u>852147-83-2P</u>
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,4,5-trisubstituted imidazoles and use as anticancer agents)

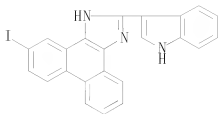
RN 296793-77-6 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole, 2-(1H-indol-3-yl)- (CA INDEX NAME)



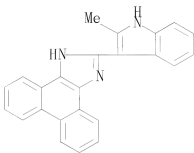
RN 330449-59-7 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole, 2-(1H-indol-3-yl)-10-iodo- (CA INDEX NAME)



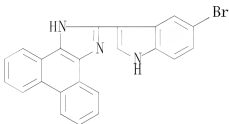
RN 662151-09-9 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole, 2-(2-methyl-1H-indol-3-yl)- (CA INDEX NAME)



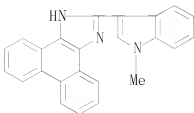
RN 662151-10-2 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole, 2-(1-methyl-1H-indol-3-yl)- (CA INDEX NAME)



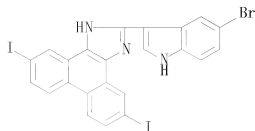
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CN 1H-Phenanthro[9,10-d]imidazole, 2-(1-methyl-1H-indol-3-yl)- (CA INDEX NAME)



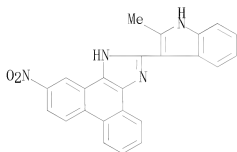
RN 662151-16-8 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole, 2-(5-bromo-1H-indol-3-yl)-5,10-diiodo-  
(CA INDEX NAME)



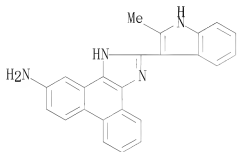
RN 852107-93-8 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole, 2-(2-methyl-1H-indol-3-yl)-10-nitro- (CA  
INDEX NAME)



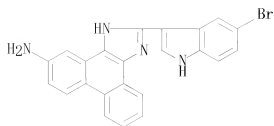
RN 852107-94-9 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazol-10-amine, 2-(2-methyl-1H-indol-3-yl)- (CA  
INDEX NAME)



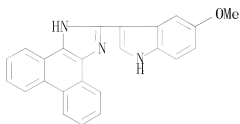
RN 852108-10-2 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazol-10-amine, 2-(5-bromo-1H-indol-3-yl)- (CA  
INDEX NAME)



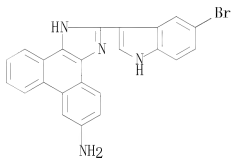
RN 852109-38-7 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole, 2-(5-methoxy-1H-indol-3-yl)- (CA INDEX NAME)



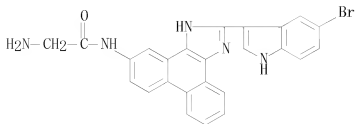
RN 852109-39-8 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazol-9-amine, 2-(5-bromo-1H-indol-3-yl)- (CA INDEX NAME)

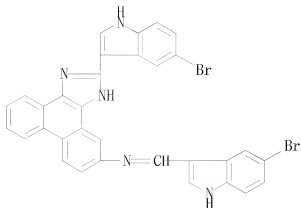


RN 852109-45-6 CAPLUS

CN Acetamide, 2-amino-N-[2-(5-bromo-1H-indol-3-yl)-1H-phenanthro[9,10-d]imidazol-10-yl]- (CA INDEX NAME)

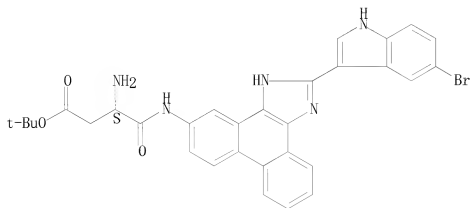


RN 852109-52-5 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazol-10-amine,  
 2-(5-bromo-1H-indol-3-yl)-N-[(5-bromo-1H-indol-3-yl)methylene]- (CA INDEX  
 NAME)

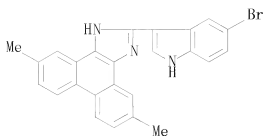


RN 852109-53-6 CAPLUS  
 CN Butanoic acid, 3-amino-4-[[2-(5-bromo-1H-indol-3-yl)-1H-phenanthro[9,10-  
 d]imidazol-10-yl]amino]-4-oxo-, 1,1-dimethylethyl ester, (3S)- (CA INDEX  
 NAME)

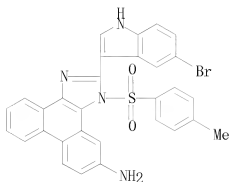
Absolute stereochemistry.



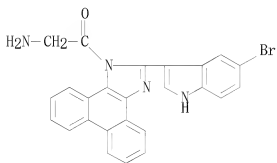
RN 852109-54-7 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole, 2-(5-bromo-1H-indol-3-yl)-5,10-dimethyl-  
 (CA INDEX NAME)



RN 852147-15-0 CAPLUS

CN 1H-Phenanthro[9, 10-d]imidazol-10-amine,  
2-(5-bromo-1H-indol-3-yl)-1-[(4-methylphenyl)sulfonyl]- (CA INDEX NAME)

RN 852147-16-1 CAPLUS

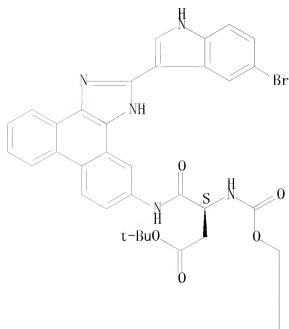
CN Ethanone, 2-amino-1-[2-(5-bromo-1H-indol-3-yl)-1H-phenanthro[9, 10-  
d]imidazol-1-yl]- (CA INDEX NAME)

RN 852147-19-4 CAPLUS

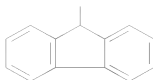
CN Butanoic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-1H-phenanthro[9, 10-d]imidazol-  
10-yl]amino]-3-[[9H-fluoren-9-ylmethoxy]carbonyl]amino]-4-oxo-,  
1,1-dimethylethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

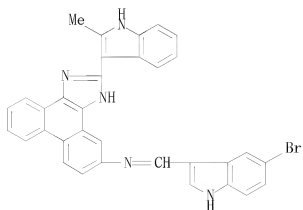
PAGE 1-A



PAGE 2-A

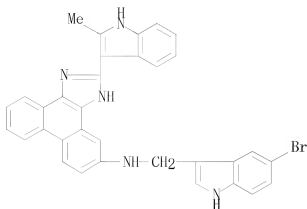


RN 852147-23-0 CAPLUS  
 CN 1H-Phenanthro[9, 10-d]imidazol-10-amine,  
 N-[(5-bromo-1H-indol-3-yl)methylene]-2- (2-methyl-1H-indol-3-yl)- (CA  
 INDEX NAME)

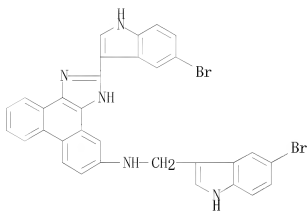




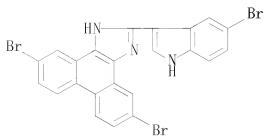
RN 852147-24-1 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazol-10-amine,  
 N-[(5-bromo-1H-indol-3-yl)methyl]-2-(2-methyl-1H-indol-3-yl)- (CA INDEX  
 NAME)



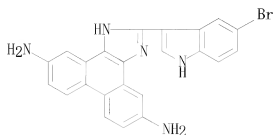
RN 852147-25-2 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazol-10-amine,  
 2-(5-bromo-1H-indol-3-yl)-N-[(5-bromo-1H-indol-3-yl)methyl]- (CA INDEX  
 NAME)



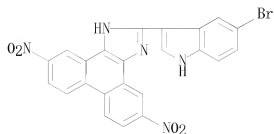
RN 852147-27-4 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole, 5,10-dibromo-2-(5-bromo-1H-indol-3-yl)-  
 (CA INDEX NAME)



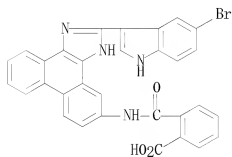
RN 852147-28-5 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole-5,10-diamine, 2-(5-bromo-1H-indol-3-yl)-  
 (CA INDEX NAME)



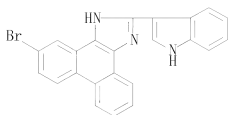
RN 852147-30-9 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole, 2-(5-bromo-1H-indol-3-yl)-5,10-dinitro-  
 (CA INDEX NAME)



RN 852147-31-0 CAPLUS  
 CN Benzoic acid, 2-[[[2-(5-bromo-1H-indol-3-yl)-1H-phenanthro[9,10-d]imidazol-10-yl]amino]carbonyl]- (CA INDEX NAME)

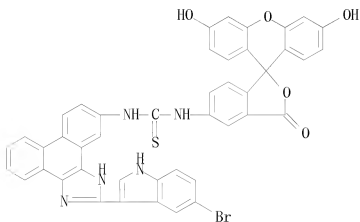


RN 852147-33-2 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole, 10-bromo-2-(1H-indol-3-yl)- (CA INDEX NAME)



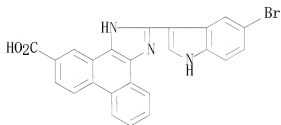
RN 852147-34-3 CAPLUS

CN Thiourea, N-[2-(5-bromo-1H-indol-3-yl)-1H-phenanthro[9,10-d]imidazol-10-yl]-N'-(3',6'-dihydroxy-3-oxospiro[isobenzofuran-1(3H),9'-[9H]xanthen)-5-yl)- (CA INDEX NAME)



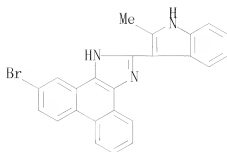
RN 852147-35-4 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole-10-carboxylic acid, 2-(5-bromo-1H-indol-3-yl)- (CA INDEX NAME)



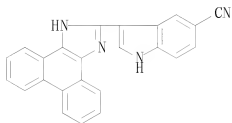
RN 852147-36-5 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole, 10-bromo-2-(2-methyl-1H-indol-3-yl)- (CA INDEX NAME)



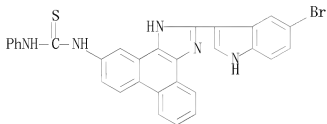
RN 852147-37-6 CAPLUS

CN 1H-indole-5-carbonitrile, 3-(1H-phenanthro[9, 10-d]imidazol-2-yl)- (CA INDEX NAME)



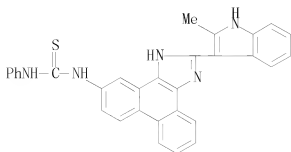
RN 852147-38-7 CAPLUS

CN Thiourea, N-[2-(5-bromo-1H-indol-3-yl)-1H-phenanthro[9, 10-d]imidazol-10-yl]-N'-phenyl- (CA INDEX NAME)

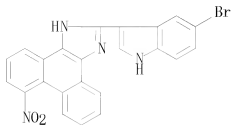


RN 852147-39-8 CAPLUS

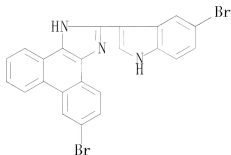
CN Thiourea, N-[2-(2-methyl-1H-indol-3-yl)-1H-phenanthro[9, 10-d]imidazol-10-yl]-N'-phenyl- (CA INDEX NAME)



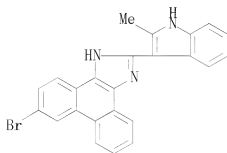
RN 852147-40-1 CAPLUS  
 CN 1H-Phenanthro[9, 10-d]imidazole, 2-(5-bromo-1H-indol-3-yl)-8-nitro- (CA INDEX NAME)



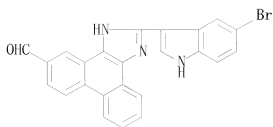
RN 852147-41-2 CAPLUS  
 CN 1H-Phenanthro[9, 10-d]imidazole, 9-bromo-2-(5-bromo-1H-indol-3-yl)- (CA INDEX NAME)



RN 852147-42-3 CAPLUS  
 CN 1H-Phenanthro[9, 10-d]imidazole, 9-bromo-2-(2-methyl-1H-indol-3-yl)- (CA INDEX NAME)

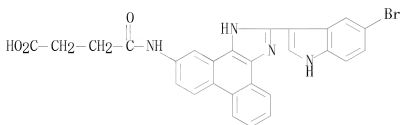


RN 852147-43-4 CAPLUS  
 CN 1H-Phenanthro[9, 10-d]imidazole-10-carboxaldehyde, 2-(5-bromo-1H-indol-3-yl)- (CA INDEX NAME)



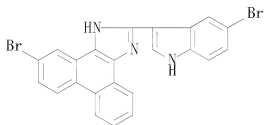
RN 852147-46-7 CAPLUS

CN Butanoic acid, 4-[[2-(5-bromo-1H-indol-3-yl)-1H-phenanthro[9,10-d]imidazol-10-yl]amino]-4-oxo- (CA INDEX NAME)



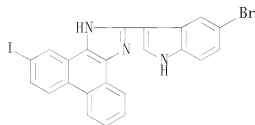
RN 852147-47-8 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole, 10-bromo-2-(5-bromo-1H-indol-3-yl)- (CA INDEX NAME)



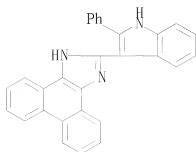
RN 852147-49-0 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole, 2-(5-bromo-1H-indol-3-yl)-10-iodo- (CA INDEX NAME)

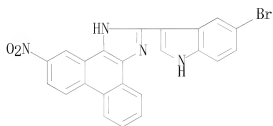


RN 852147-50-3 CAPLUS

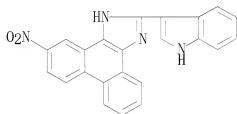
CN 1H-Phenanthro[9,10-d]imidazole, 2-(2-phenyl-1H-indol-3-yl)- (CA INDEX NAME)



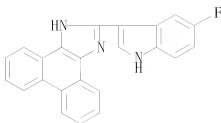
RN 852147-51-4 CAPLUS  
CN 1H-Phenanthro[9,10-d]imidazole, 2-(5-bromo-1H-indol-3-yl)-10-nitro- (CA INDEX NAME)



RN 852147-56-9 CAPLUS  
CN 1H-Phenanthro[9,10-d]imidazole, 2-(1H-indol-3-yl)-10-nitro- (CA INDEX NAME)

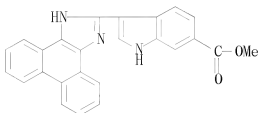


RN 852147-57-0 CAPLUS  
CN 1H-Phenanthro[9,10-d]imidazole, 2-(5-fluoro-1H-indol-3-yl)- (CA INDEX NAME)



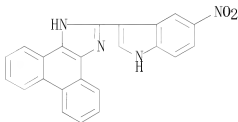
RN 852147-58-1 CAPLUS

CN 1H-indole-6-carboxylic acid, 3-(1H-phenanthro[9,10-d]imidazol-2-yl)-, methyl ester (CA INDEX NAME)



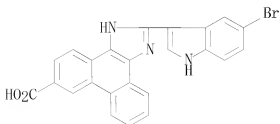
RN 852147-61-6 CAPLUS

CN 1H-phenanthro[9,10-d]imidazole, 2-(5-nitro-1H-indol-3-yl)- (CA INDEX NAME)



RN 852147-64-9 CAPLUS

CN 1H-phenanthro[9,10-d]imidazole-9-carboxylic acid, 2-(5-bromo-1H-indol-3-yl)- (CA INDEX NAME)

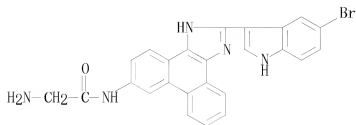


RN 852147-65-0 CAPLUS

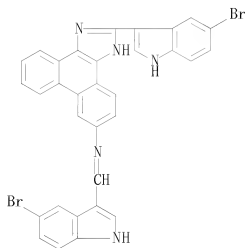
CN Acetamide, 2-amino-N-[2-(5-bromo-1H-indol-3-yl)-1H-phenanthro[9,10-



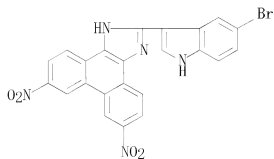
d]imidazol-9-yl]- (CA INDEX NAME)



RN 852147-66-1 CAPLUS

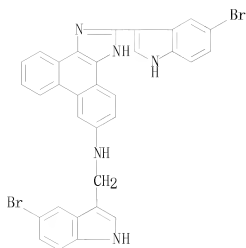
CN 1H-Phenanthro[9,10-d]imidazole-9-amine,  
2-(5-bromo-1H-indol-3-yl)-N-[(5-bromo-1H-indol-3-yl)methylene]- (CA INDEX NAME)

RN 852147-67-2 CAPLUS

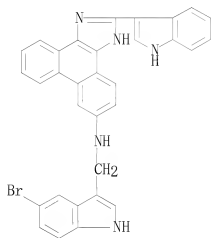
CN 1H-Phenanthro[9,10-d]imidazole, 2-(5-bromo-1H-indol-3-yl)-6,9-dinitro-  
(CA INDEX NAME)

RN 852147-68-3 CAPLUS

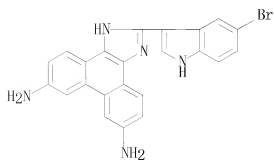
CN 1H-Phenanthro[9,10-d]imidazole-9-amine,  
2-(5-bromo-1H-indol-3-yl)-N-[(5-bromo-1H-indol-3-yl)methyl]- (CA INDEX NAME)



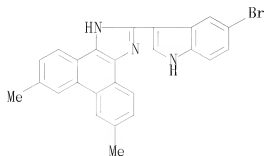
RN 852147-69-4 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole-9-amine,  
N-[(5-bromo-1H-indol-3-yl)methyl]-2-(1H-indol-3-yl)- (CA INDEX NAME)

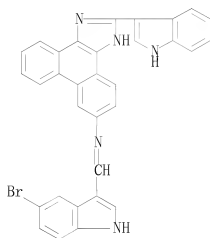
RN 852147-70-7 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole-6,9-diamine, 2-(5-bromo-1H-indol-3-yl)-  
(CA INDEX NAME)

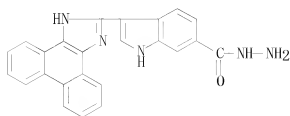
RN 852147-71-8 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole, 2-(5-bromo-1H-indol-3-yl)-6,9-dimethyl-  
 (CA INDEX NAME)



RN 852147-72-9 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazol-9-amine,  
 N-[(5-bromo-1H-indol-3-yl)methylene]-2-(1H-indol-3-yl)- (CA INDEX NAME)



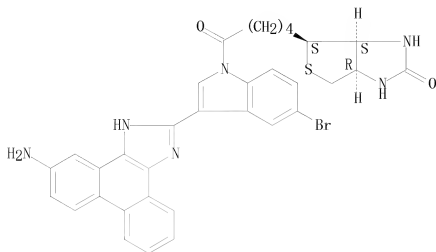
RN 852147-78-5 CAPLUS  
 CN 1H-Indole-6-carboxylic acid, 3-(1H-phenanthro[9,10-d]imidazol-2-yl)-,  
 hydrazide (CA INDEX NAME)



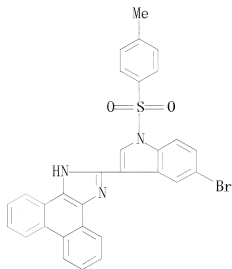
RN 852147-79-6 CAPLUS  
 CN 1H-Thieno[3,4-d]imidazol-2(3H)-one,  
 4-[5-[3-(10-amino-1H-phenanthro[9,10-d]imidazol-2-yl)-5-bromo-1H-indol-1-

yl]-5-oxopentyl]tetrahydro-, (3aS,4S,6aR)- (CA INDEX NAME)

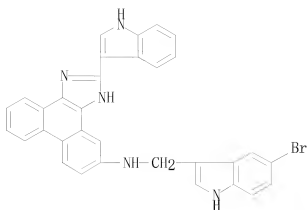
Absolute stereochemistry.



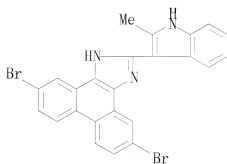
RN 852147-80-9 CAPLUS  
CN 1H-Phenanthro[9,10-d]imidazole, 2-[5-bromo-1-[(4-methylphenyl)sulfonyl]-1H-indol-3-yl]- (CA INDEX NAME)



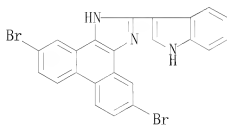
RN 852147-81-0 CAPLUS  
CN 1H-Phenanthro[9,10-d]imidazol-10-amine,  
N-[(5-bromo-1H-indol-3-yl)methyl]-2-(1H-indol-3-yl)- (CA INDEX NAME)



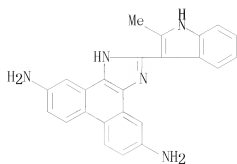
RN 852147-82-1 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole, 5,10-dibromo-2-(2-methyl-1H-indol-3-yl)-  
 (CA INDEX NAME)



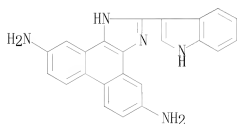
RN 852147-83-2 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole, 5,10-dibromo-2-(1H-indol-3-yl)- (CA INDEX  
 NAME)



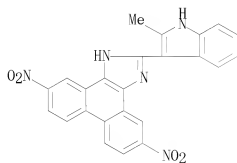
RN 852147-84-3 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole-5,10-diamine, 2-(2-methyl-1H-indol-3-yl)-  
 (CA INDEX NAME)



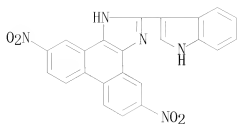
RN 852147-85-4 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole-5,10-diamine, 2-(1H-indol-3-yl)- (CA INDEX NAME)



RN 852147-86-5 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole, 2-(2-methyl-1H-indol-3-yl)-5,10-dinitro- (CA INDEX NAME)

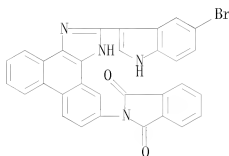


RN 852147-87-6 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole, 2-(1H-indol-3-yl)-5,10-dinitro- (CA INDEX NAME)



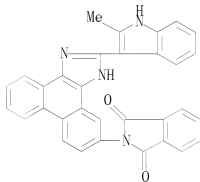
RN 852147-88-7 CAPLUS

CN 1H-isoindole-1,3(2H)-dione, 2-[2-(5-bromo-1H-indol-3-yl)-1H-phenanthro[9,10-d]imidazol-10-yl]- (CA INDEX NAME)



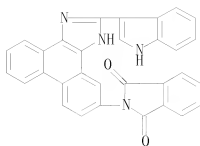
RN 852147-89-8 CAPLUS

CN 1H-isoindole-1,3(2H)-dione, 2-[2-(2-methyl-1H-indol-3-yl)-1H-phenanthro[9,10-d]imidazol-10-yl]- (CA INDEX NAME)

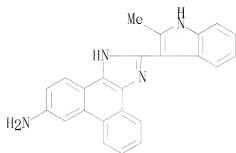


RN 852147-90-1 CAPLUS

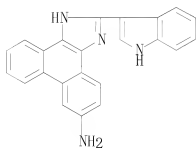
CN 1H-isoindole-1,3(2H)-dione, 2-[2-(1H-indol-3-yl)-1H-phenanthro[9,10-d]imidazol-10-yl]- (CA INDEX NAME)



RN 852147-91-2 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazol-9-amine, 2-(2-methyl-1H-indol-3-yl)- (CA INDEX NAME)

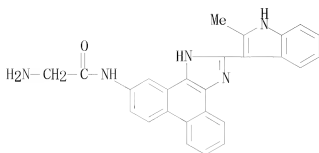


RN 852147-92-3 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazol-9-amine, 2-(1H-indol-3-yl)- (CA INDEX NAME)

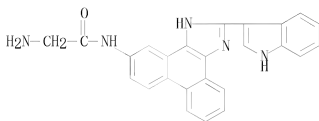


RN 852147-93-4 CAPLUS  
 CN Acetamide, 2-amino-N-[2-(2-methyl-1H-indol-3-yl)-1H-phenanthro[9,10-d]imidazol-10-yl]- (CA INDEX NAME)

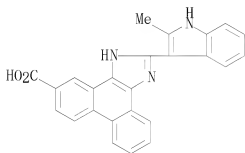




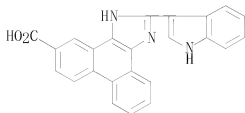
RN 852147-94-5 CAPLUS  
 CN Acetamide, 2-amino-N-[2-(1H-indol-3-yl)-1H-phenanthro[9,10-d]imidazol-10-yl]- (CA INDEX NAME)



RN 852147-95-6 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole-10-carboxylic acid, 2-(2-methyl-1H-indol-3-yl)- (CA INDEX NAME)

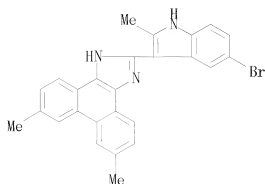


RN 852147-96-7 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole-10-carboxylic acid, 2-(1H-indol-3-yl)- (CA INDEX NAME)



RN 852147-97-8 CAPLUS

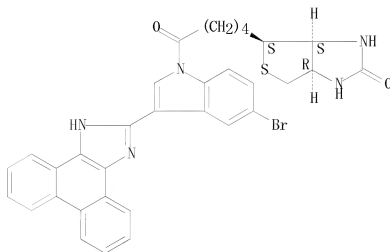
CN 1H-Phenanthro[9, 10-d]imidazole, 2-(5-bromo-2-methyl-1H-indol-3-yl)-6, 9-dimethyl- (CA INDEX NAME)



RN 852147-98-9 CAPLUS

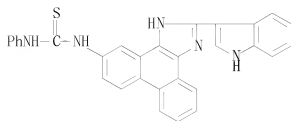
CN 1H-Thieno[3, 4-d]imidazol-2(3H)-one, 4-[5-[5-bromo-3-(1H-phenanthro[9, 10-d]imidazol-2-yl)-1H-indol-1-yl]-5-oxopentyl]tetrahydro-, (3aS, 4S, 6aR)- (CA INDEX NAME)

Absolute stereochemistry.



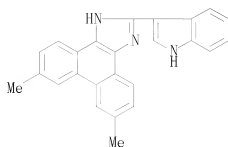
RN 852147-99-0 CAPLUS

CN Thiourea, N-[2-(1H-indol-3-yl)-1H-phenanthro[9, 10-d]imidazol-10-yl]-N'-phenyl- (CA INDEX NAME)

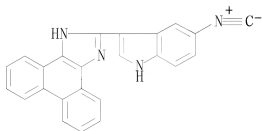


RN 852148-00-6 CAPLUS

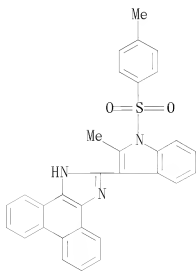
RN 1H-Phenanthro[9, 10-d]imidazole, 2-(1H-indol-3-yl)-6, 9-dimethyl- (CA INDEX  
CN NAME)



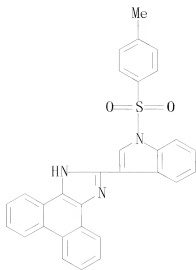
RN 852148-01-7 CAPLUS  
CN 1H-Phenanthro[9, 10-d]imidazole, 2-(5-isocyano-1H-indol-3-yl)- (CA INDEX  
NAME)



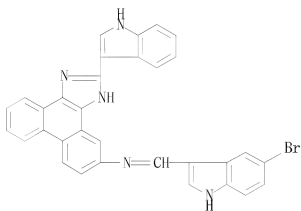
RN 852148-05-1 CAPLUS  
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1H-indol-3-yl]- (CA INDEX NAME)



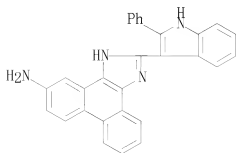
RN 852148-06-2 CAPLUS  
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yl]- (CA INDEX NAME)



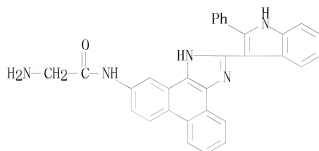
RN 852148-10-8 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazol-10-amine,  
N-[(5-bromo-1H-indol-3-yl)methylene]-2-(1H-indol-3-yl)- (CA INDEX NAME)

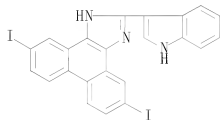
RN 852148-11-9 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazol-10-amine, 2-(2-phenyl-1H-indol-3-yl)- (CA  
INDEX NAME)

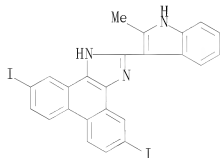
RN 852148-12-0 CAPLUS  
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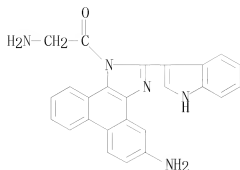
RN 852148-13-1 CAPLUS  
 CN 1H-Phenanthro[9, 10-d]imidazole, 2-(1H-indol-3-yl)-5, 10-diiodo- (CA INDEX NAME)



RN 852148-14-2 CAPLUS  
 CN 1H-Phenanthro[9, 10-d]imidazole, 5, 10-diiodo-2-(2-methyl-1H-indol-3-yl)- (CA INDEX NAME)

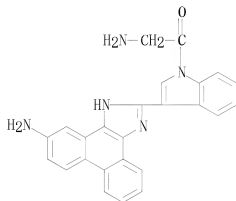


RN 852148-28-8 CAPLUS  
 CN Ethanone, 2-amino-1-[5-amino-2-(1H-indol-3-yl)-1H-phenanthro[9, 10-d]imidazol-1-yl]- (CA INDEX NAME)



RN 852148-29-9 CAPLUS

CN Ethanone, 2-amino-1-[3-(10-amino-1H-phenanthro[9,10-d]imidazol-2-yl)-1H-indol-1-yl]- (CA INDEX NAME)



OSC. G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)  
 RE. CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

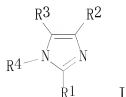
L6 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN  
 AN 2004:162540 CAPLUS  
 DN 140:193035  
 TI Preparation of 2,4,5-trisubstituted imidazoles and their use as  
 antibacterial and/or antifungal agents  
 IN Huesca, Mario; Al-qawasmeh, Raed; Young, Aiping H.; Lee, Yoon  
 PA Lorus Therapeutics Inc., Can.  
 SO PCT Int. Appl., 84 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004016086	A2	20040226	WO 2003-CA1229	20030819
	WO 2004016086	A3	20040429		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2496241	A1	20040226	CA 2003-2496241	20030819
	AU 2003257329	A1	20040303	AU 2003-257329	20030819
	EP 1531674	A2	20050525	EP 2003-787546	20030819
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	BR 2003013763	A	20050719	BR 2003-13763	20030819
	CN 1688194	A	20051026	CN 2003-824355	20030819
	JP 2006503817	T	20060202	JP 2004-528206	20030819
	US 20070105929	A1	20070510	US 2006-525690	20061024
PRAI	CA 2002-2398765	A	20020819		
	WO 2003-CA1229	W	20030819		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 140:193035

GI



AB The present invention provides therapeutically effective 2,4,5-trisubstituted imidazole compds. (shown as I; variables defined below; particularly 2-(indol-3-yl)imidazoles; e.g. 3-(4,5-diphenylimidazol-2-yl)-2-methylindole (II)), methods of preparing the same, and compns. comprising the compds. alone or in combination with other agents. The present invention further provides for the use of the

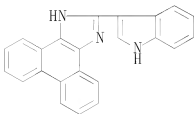
comps. as anti-microbial agents because of their antibacterial and/or antifungal activity. For I: R1 is (un)substituted aryl, (un)substituted heterocycle, or (un)substituted heteroaryl; R2 and R3 = (un)substituted aryl, (un)substituted heterocycle, or (un)substituted heteroaryl or R2 and R3 when taken together along with the C atoms they are attached to, form (un)substituted aryl, and R4 is H, halogen, hydroxy, thiol, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted lower alkynyl, alkylalkenyl, alkyl alkynyl, alkoxy, alkylthio, acyl, aryloxy, amino, amido, carboxy, (un)substituted aryl, heteroaryl, (un)substituted heterocycle, heteroalkyl, (un)substituted cycloalkyl, alkylcycloalkyl, alkylcycloheteroalkyl, nitro, or cyano.

Although the methods of preparation are not claimed, 1 example preparation and characterization data for 25 similarly prepared examples of I are included. For example, II was prepared by cyclization of benzil with 2-methylindole-3-carboxaldehyde in the presence of NH<sub>4</sub>OAc in AcOH. Minimal inhibitory concns. (MICs) for 4 examples of I against methicillin-resistant staphylococcus aureus (MRSA) are tabulated; the bactericidal/bacteriostatic effects of these comps. were also studied. In vivo inhibition of MRSA in mice was not as good for these 4 examples of I as for vancomycin; no toxicity symptoms were observed. Addnl. in vivo MRSA antibacterial activities for .apprx.15 examples of I are tabulated. In vitro MIC values against 8 S. aureus strains are tabulated for .apprx.70 examples of I and against 4 other gram-pos. bacteria for 3 examples of I. In vitro antifungal activities for 17 examples of I against C. albicans are included.

IT 296793-77-6P, 2-(Indol-3-yl)-1H-phenanthro[9,10-d]imidazole  
 330449-59-7P, 2-(Indol-3-yl)-5-iodo-1H-phenanthro[9,10-d]imidazole  
 662151-09-9P, 2-(2-Methylindol-3-yl)-1H-phenanthro[9,10-d]imidazole 662151-10-2P,  
 2-(5-Bromoindol-3-yl)-1H-phenanthro[9,10-d]imidazole  
 662151-11-3P, 2-(1-Methylindol-3-yl)-1H-phenanthro[9,10-d]imidazole 662151-16-8P,  
 2-(5-Bromoindol-3-yl)-5,10-diiodo-1H-phenanthro[9,10-d]imidazole  
 RL: BSU (Biological study, unclassified); BUU (Biological use, unclassified); COS (Cosmetic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of 2,4,5-trisubstituted imidazoles and their use as antibacterial and/or antifungal agents)

RN 296793-77-6 CAPLUS

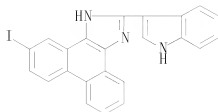
CN 1H-Phenanthro[9,10-d]imidazole, 2-(1H-indol-3-yl)- (CA INDEX NAME)



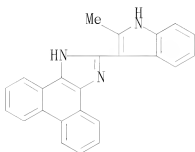
RN 330449-59-7 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole, 2-(1H-indol-3-yl)-10-iodo- (CA INDEX NAME)

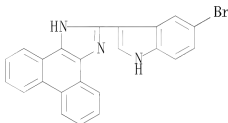




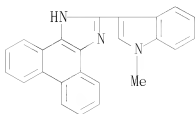
RN 662151-09-9 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole, 2-(2-iodo-1H-indol-3-yl)- (CA INDEX NAME)



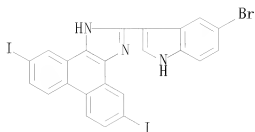
RN 662151-10-2 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole, 2-(5-bromo-1H-indol-3-yl)- (CA INDEX NAME)



RN 662151-11-3 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole, 2-(1-methyl-1H-indol-3-yl)- (CA INDEX NAME)

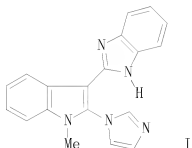


RN 662151-16-8 CAPLUS  
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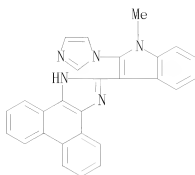


OSC. G	4	THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
RE. CNT	6	THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
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L6 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN  
 AN 2004:84341 CAPLUS  
 DN 140:287321  
 TI Synthesis and antimicrobial activities of some imidazole substituted  
 indoles  
 AU Benkli, Kadriye; Demirayak, Seref; Gundogdu-Karaburun, Nalan; Kiraz, Nuri;  
 Iscan, Gokalp; Ucucu, Umit  
 CS Faculty of Pharmacy, Department of Pharmaceutical Chemistry, Anadolu  
 University, Eskisehir, 26470, Turk.  
 SO Indian Journal of Chemistry, Section B: Organic Chemistry Including  
 Medicinal Chemistry (2004), 43B(1), 174-179  
 CODEN: IJSBDB; ISSN: 0376-4699  
 PB National Institute of Science Communication  
 DT Journal  
 LA English  
 OS CASREACT 140:287321  
 GI

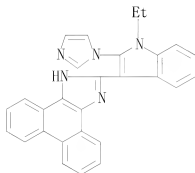


AB Imidazole substituted indole derivs., e.g. I, have been synthesized from  
 2-imidazol-1-yl-3-formylindoles. The structural elucidation of the  
 synthesized compds. has been performed by IR, <sup>1</sup>H NMR, mass spectroscopic  
 data and elemental analyses. Their antimicrobial activities were examined  
 and some compds., e.g. I, were found, as expected, to have notable  
 antifungal activity in comparison with the control agent ketoconazole.  
 IT 675580-92-4P 675580-93-5P 675580-94-6P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL  
 (Biological study); PREP (Preparation)  
 (preparation and antimicrobial activities of imidazole substituted indoles)  
 RN 675580-92-4 CAPLUS  
 CN 1H-Phenanthro[9,10-d]imidazole, 2-[2-(1H-imidazol-1-yl)-1-methyl-1H-indol-  
 3-yl]- (CA INDEX NAME)



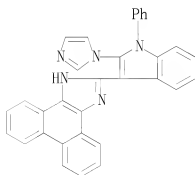
RN 675580-93-5 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole, 2-[1-ethyl-2-(1H-imidazol-1-yl)-1H-indol-3-yl]- (CA INDEX NAME)



RN 675580-94-6 CAPLUS

CN 1H-Phenanthro[9,10-d]imidazole, 2-[2-(1H-imidazol-1-yl)-1-phenyl-1H-indol-3-yl]- (CA INDEX NAME)



OSC. G 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)  
 RE. CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE CONTENT: 1961-PRESENT VOL 151 ISS 18 (20091106/ED)

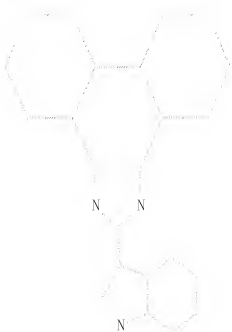
MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES  
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US	20090239921	24 SEP 2009
DE	102008056811	17 SEP 2009
EP	2100602	16 SEP 2009
JP	2009218369	24 SEP 2009
WO	2009119878	01 OCT 2009
GB	2458259	16 SEP 2009
FR	2928648	18 SEP 2009
RU	2366648	10 SEP 2009
CA	2653107	08 AUG 2009

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<http://www.cas.org/support/stngen/stndoc/marpat.html>.  
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Structure attributes must be viewed using STN Express query preparation.  
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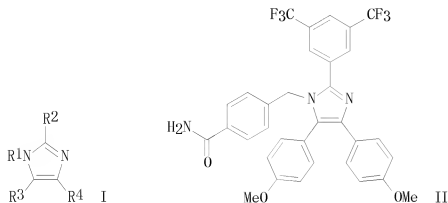
5 ANSWERS

SEARCH TIME: 00.00.01

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L8 ANSWER 1 OF 5 MARPAT COPYRIGHT 2009 ACS on STN  
 AN 149:332334 MARPAT  
 TI Preparation of imidazoles (apoptazoles) as inducers of apoptosis.  
 IN Shin, In-Jae; Lee, Myung-Ryul; Williams, Darren  
 PA Industry-Academic Cooperation Foundation, Yonsei University, S. Korea  
 SO PCT Int. Appl., 28pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2008105565	A1	20080904	WO 2007-KR970	20070226
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
PRAI	WO 2007-KR970		20070226		
GI					



AB Title compds. [I; R1 = H, alkylaryl, alkyl, cycloalkyl, (CH<sub>2</sub>CH<sub>2</sub>O)<sub>0-3</sub>CH<sub>2</sub>CH<sub>2</sub>NH<sub>2</sub>, etc.; R2-R4 = alkyl, cycloalkyl, alkylaryl, alkenylaryl], were prepared Thus, NH<sub>4</sub>OAc, 3,5-bis(trifluoromethyl)benzaldehyde, 4,4'-dimethoxybenzil, and 4-aminomethylbenzamide were stirred together in HOAc for 5 h to give 30% title compound (II) (Apoptazole I). II at 1  $\mu$ M showed near-quant. apoptotic effect on SK-OV-3 ovarian cancer cells.

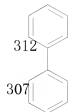
MSTR 1



G2 = 224



G3 +G4 = 307-1 312-5



Patent location:

claim 3

Note:

substitution is restricted

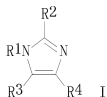
RE.CNT 2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



L8 ANSWER 2 OF 5 MARPAT COPYRIGHT 2009 ACS on STN  
 AN 147:9916 MARPAT  
 TI Preparation of triarylimidazoles (neurodazines) which induce  
 differentiation of myoblasts or muscle fibers into neurons.  
 IN Shin, In-Jae; Lee, Myung-Ryul; Williams, Darren  
 PA Industry-Academic Cooperation Foundation, Yonsei University, S. Korea  
 SO PCT Int. Appl., 28pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN, CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007061153	A1	20070531	WO 2005-KR4627	20051229
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
KR 694181	B1	20070312	KR 2005-113315	20051125
GB 2447373	A	20080910	GB 2008-9228	20051229
JP 2009517379	T	20090430	JP 2008-542216	20051229
US 20070123576	A1	20070531	US 2006-337145	20060120
PRAI KR 2005-113315		20051125		
WO 2005-KR4627		20051229		
OS CASREACT 147:9916				
GI				

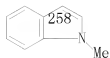


AB Title compds. [I; R1 = H, alkylaryl, alkyl, cycloalkyl, [CH2CH2O]0-3CH2CH2NH2, etc.; R2-R4 = alkyl, cycloalkyl, alkylaryl, alkenylaryl, etc.], were prepared. Thus, 5-(3-chlorophenyl)furfural, 4,4'-dimethoxybenzil, and NH4OAc were heated in HOAc at 100° for 6 h to give 2-[2-[5-(3-chlorophenyl)]furyl]-4,5-bis(4-methoxyphenyl)imidazole. Treatment of myoblasts with 1 μM neurodazines gave striking neurite outgrowth.

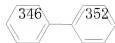
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G4 = 258



G7 +G8 = 346-1 352-5

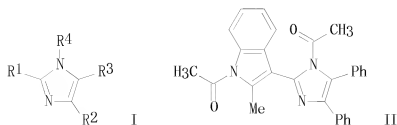


Patent location: claim 1

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 5 MARPAT COPYRIGHT 2009 ACS on STN  
 AN 143:7711 MARPAT  
 TI Preparation of 2,4,5-trisubstituted imidazoles and their use as anticancer  
 agents  
 IN Huesca, Mario; Al-Qawasmeh, Raed; Young, Aiping H.; Lee, Yoon  
 PA Lorus Therapeutics Inc., Can.  
 SO PCT Int. Appl., 184 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN, CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005047266	A1	20050526	WO 2004-IB52433	20041115
	WO 2005047266	A9	20080417		
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	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AP, EA, EP, OA			
	AU 2004289539	A1	20050526	AU 2004-289539	20041115
	CA 2545942	A1	20050526	CA 2004-2545942	20041115
	EP 1692113	A1	20060823	EP 2004-799154	20041115
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS			
	JP 2007511504	T	20070510	JP 2006-539065	20041115
	US 20070123553	A1	20070531	US 2007-579149	20070119
	US 20080262015	A9	20081023		
PRAI	US 2003-520279P		20031114		
	US 2004-599509P		20040806		
	WO 2004-IB52433		20041115		
GI					



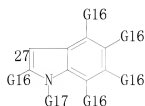
AB Title compds. I [R1 = aryl, heterocycle, etc.; R2-3 = aryl, heteroaryl, etc.; R4 = H, halo, OH, SH, alkyl, etc.] are prepared For instance, 2-methyl-3-formylindole, benzil and NH4OAc is reacted to give the corresponding 4,5-diphenyl-2-(2-methylindol-3-yl)imidazole. The

bis(N-acetyl)derivative (11) showed minimal inhibition of proliferation of human colon carcinoma (HT-29) cells whereas selected examples showed significantly greater inhibition. I are useful alone or in combination with other agents for the treatment of cancer.

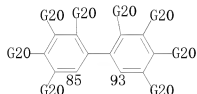
MSTR 1



G1 = 27



G2 +G3 = 93-5 85-1

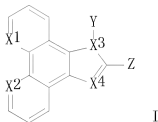


Patent location: claim 1

RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 4 OF 5 MARPAT COPYRIGHT 2009 ACS on STN  
 AN 142:102710 MARPAT  
 TI Organic luminescent compounds and methods of making and using same  
 IN Wang, Suning; Wang, Ruiyao  
 PA Can.  
 SO U. S. Pat. Appl. Publ., 28 pp.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 20040265628	A1	20041230	US 2004-825685	20040416
	CA 2425819	A1	20041017	CA 2003-2425819	20030417
PRAI	CA 2003-2425819		20030417		
GI	US 2003-463337P		20030417		

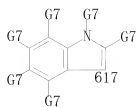


AB Organic compds. are described by the general formula I (X1-4 = independently selected C and N; Y = H, (un)substituted aryl, and (un)substituted C1-24 aliphatic group which may be straight, branched or cyclic; Z = (un)substituted Ph, biphenyl, naphthyl, anthryl, phenanthryl, pyrenyl, pyridyl, bipyridyl, indyl, and quinolinyll; and substituents may be aryl, alkoxy, OH, halo, amino, nitro, nitrile, -CF and C1-24 aliphatic group 1-24 which may be straight, branched or cyclic). Methods of synthesizing the compds., compns. containing them, methods of producing electroluminescence and producing charge separation (e.g., to harvest photons), and uses of the compds. of the invention in luminescent probes, electroluminescent displays, as photoreceptors, and as pH probes, metal ion detectors, and mol. switches.

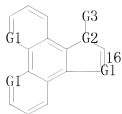
MSTR 1

G4—G10

G1 = CH / N  
 G2 = N  
 G4 = 617



G10 = 16



Patent location:

Note:

Note:

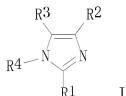
claim 1

also includes claims 8 and 9

additional substitution also claimed

L8 ANSWER 5 OF 5 MARPAT COPYRIGHT 2009 ACS on STN  
 AN 140:193035 MARPAT  
 TI Preparation of 2,4,5-trisubstituted imidazoles and their use as  
 antibacterial and/or antifungal agents  
 IN Huesca, Mario; Al-qawasmeh, Raed; Young, Aiping H.; Lee, Yoon  
 PA Lorus Therapeutics Inc., Can.  
 SO PCT Int. Appl., 84 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN, CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004016086	A2	20040226	WO 2003-CA1229	20030819
	WO 2004016086	A3	20040429		
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	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2496241	A1	20040226	CA 2003-2496241	20030819
	AU 2003257329	A1	20040303	AU 2003-257329	20030819
	EP 1531674	A2	20050525	EP 2003-787546	20030819
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	BR 2003013763	A	20050719	BR 2003-13763	20030819
	CN 1688194	A	20051026	CN 2003-824355	20030819
	JP 2006503817	T	20060202	JP 2004-528206	20030819
	US 20070105929	A1	20070510	US 2006-525690	20061024
PRAI	CA 2002-2398765		20020819		
GI	WO 2003-CA1229		20030819		



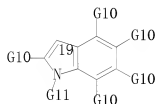
AB The present invention provides therapeutically effective 2,4,5-trisubstituted imidazole compds. (shown as I; variables defined below; particularly 2-(indol-3-yl)imidazoles; e.g. 3-(4,5-diphenylimidazol-2-yl)-2-methylindole (II)), methods of preparing the same, and compns. comprising the compds. alone or in combination with other agents. The present invention further provides for the use of the compds. as anti-microbial agents because of their antibacterial and/or antifungal activity. For I: R1 is (un)substituted aryl, (un)substituted heterocycle, or (un)substituted heteroaryl; R2 and R3 = (un)substituted

aryl, (un)substituted heterocycle, or (un)substituted heteroaryl or R2 and R3 when taken together along with the C atoms they are attached to, form (un)substituted aryl, and R4 is H, halogen, hydroxy, thiol, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted lower alkynyl, alkylalkenyl, alkyl alkynyl, alkoxy, alkylthio, acyl, aryloxy, amino, amido, carboxy, (un)substituted aryl, heteroaryl, (un)substituted heterocycle, heteroalkyl, (un)substituted cycloalkyl, alkylcycloalkyl, alkylcycloheteroalkyl, nitro, or cyano. Although the methods of preparation are not claimed, 1 example preparation and characterization data for 25 similarly prepared examples of I are included. For example, II was prepared by cyclization of benzil with 2-methylindole-3-carboxaldehyde in the presence of NH4OAc in AcOH. Minimal inhibitory concns. (MICs) for 4 examples of I against methicillin-resistant staphylococcus aureus (MRSA) are tabulated; the bactericidal/bacteriostatic effects of these compds. were also studied. In vivo inhibition of MRSA in mice was not as good for these 4 examples of I as for vancomycin; no toxicity symptoms were observed. Addnl. in vivo MRSA antibacterial activities for .apprx.15 examples of I are tabulated. In vitro MIC values against 8 S. aureus strains are tabulated for .apprx.70 examples of I and against 4 other gram-pos. bacteria for 3 examples of I. In vitro antifungal activities for 17 examples of I against C. albicans are included.

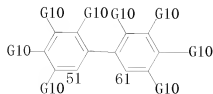
# MSTR 1



G1 = 19



G2 + G3 = 61-5 51-1



Patent location:  
Note:

claim 1  
or salts



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE COVERS 1907 - 10 Nov 2009 VOL 151 ISS 20  
 FILE LAST UPDATED: 9 Nov 2009 (20091109/ED)  
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2009  
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2009

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

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L11     25 SEA FILE=CAPLUS ABB=ON PLU=ON ("HUESCA M"/AU OR "HUESCA
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L14      5 SEA FILE=CAPLUS ABB=ON PLU=ON L13 AND IMIDAZOLE
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L14 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:497098 CAPLUS

DN 145:443625

TI Liposome formulation of a novel hydrophobic aryl-imidazole  
compound for anti-cancer therapy

AU Liu, Jubo; Lee, Helen; Huesca, Mario; Young, Aiping;  
Allen, Christine

CS Department of Pharmaceutical Sciences, University of Toronto, Toronto, ON,  
M5S 2S2, Can.

S0 Cancer Chemotherapy and Pharmacology (2006), 58(3), 306-318  
CODEN: CCPHDZ; ISSN: 0344-5704

PB Springer

DT Journal

LA English

AB Purpose: A cholesterol-free liposome formulation formed from mixts. of egg  
phosphatidylcholine (ePC) and poly (ethylene glycol) conjugated  
distearoylphosphatidylethanolamine (DSPE-PEG 2000) was optimized and  
evaluated for delivery of a novel anti-cancer agent ML220  
(2-(5-bromo-1H-indol-3-yl)-1H-phenanthro [9,10-d] imidazole).  
Results and Discussion: ML220 is highly lipophilic with a water solubility of  
0.14 µg/mL and calculated log P of 5.69. The ML220-loaded liposomes had a  
unimodal size-distribution and a mean diameter of 89 nm. The drug to lipid  
ratio in the formulation was 1:3.5 (mol:mol) and the drug loading  
efficiency was 83% providing a more than 50,000-fold increase in the water  
solubility of ML220. The formulation was demonstrated to be stable in vitro at  
37° C for over 2 wk with a delayed drug release profile. Evaluation  
of the subacute toxicity of the liposome formulated drug in C3H mice  
revealed no overt signs of toxicity. Also, a biexponential drug plasma  
concentration pattern was found upon evaluation of the pharmacokinetics in Balb/C  
mice. The in vivo evaluation of the anti-cancer activity in a human colon  
HT29 carcinoma model revealed a significant delay in tumor growth.  
Conclusion: Overall, the ePC/DSPE-PEG liposomes were demonstrated to be a  
suitable delivery system for ML220. These studies also highlight the  
potential of cholesterol-free liposomes as a formulation strategy for  
highly lipophilic drugs.

OSC.G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

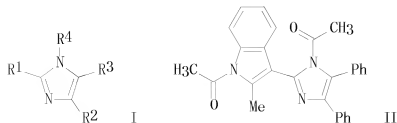
L14 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN  
 AN 2005:451366 CAPLUS  
 DN 143:7711  
 TI Preparation of 2,4,5-trisubstituted imidazoles and their use as  
 anticancer agents  
 IN Huesca, Mario; Al-Qawasmeh, Raed; Young, Aiping H.;  
Lee, Yoon  
 PA Lorus Therapeutics Inc., Can.  
 SO PCT Int. Appl., 184 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005047266	A1	20050526	WO 2004-IB52433	20041115
	WO 2005047266	A9	20080417		
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	EP 1692113	A1	20060823	EP 2004-799154	20041115
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS			
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	US 20070123553	A1	20070531	US 2007-579149	20070119
	US 20080262015	A9	20081023		
PRAI	US 2003-520279P	P	20031114		
	US 2004-599509P	P	20040806		
	WO 2004-IB52433	W	20041115		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 143:7711

GI



AB Title compds. I [R<sup>1</sup> = aryl, heterocycle, etc.; R<sup>2</sup>-3 = aryl, heteroaryl, etc.; R<sup>4</sup> = H, halo, OH, SH, alkyl, etc.] are prepared For instance,

2-methyl-3-formylindole, benzil and NH<sub>4</sub>OAc is reacted to give the corresponding 4,5-diphenyl-2-(2-methylindol-3-yl)imidazole. The bis(N-acetyl)derivative (II) showed minimal inhibition of proliferation of human colon carcinoma (HT-29) cells whereas selected examples showed significantly greater inhibition. I are useful alone or in combination with other agents for the treatment of cancer.

OSC. G 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)  
RE. CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

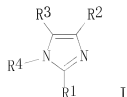
L14 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN  
 AN 2004:162540 CAPLUS  
 DN 140:193035  
 TI Preparation of 2,4,5-trisubstituted imidazoles and their use as  
 antibacterial and/or antifungal agents  
 IN Huesca, Mario; Al-qawasmeh, Raed; Young, Aiping H.;  
Lee, Yoon  
 PA Lorus Therapeutics Inc., Can.  
 SO PCT Int. Appl., 84 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN, CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004016086	A2	20040226	WO 2003-CA1229	20030819
	WO 2004016086	A3	20040429		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW,			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	CA 2496241	A1	20040226	CA 2003-2496241	20030819
	AU 2003257329	A1	20040303	AU 2003-257329	20030819
	EP 1531674	A2	20050525	EP 2003-787546	20030819
	R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
	BR 2003013763	A	20050719	BR 2003-13763	20030819
	CN 1688194	A	20051026	CN 2003-824355	20030819
	JP 2006503817	T	20060202	JP 2004-528206	20030819
	US 20070105929	A1	20070510	US 2006-525690	20061024
PRAI	CA 2002-2398765	A	20020819		
	WO 2003-CA1229	W	20030819		

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OS MARPAT 140:193035

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AB The present invention provides therapeutically effective  
 2,4,5-trisubstituted imidazole compds. (shown as I; variables  
 defined below; particularly 2-(indol-3-yl)imidazoles; e.g.  
 3-(4,5-diphenylimidazol-2-yl)-2-methylindole (II)), methods of preparing the  
 same, and compns. comprising the compds. alone or in combination with

other agents. The present invention further provides for the use of the compds. as anti-microbial agents because of their antibacterial and/or antifungal activity. For I: R1 is (un)substituted aryl, (un)substituted heterocycle, or (un)substituted heteroaryl; R2 and R3 = (un)substituted aryl, (un)substituted heterocycle, or (un)substituted heteroaryl or R2 and R3 when taken together along with the C atoms they are attached to, form (un)substituted aryl, and R4 is H, halogen, hydroxy, thiol, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted lower alkynyl, alkylalkenyl, alkyl alkynyl, alkoxy, alkylthio, acyl, aryloxy, amino, amido, carboxy, (un)substituted aryl, heteroaryl, (un)substituted heterocycle, heteroalkyl, (un)substituted cycloalkyl, alkylcycloalkyl, alkylcycloheteroalkyl, nitro, or cyano. Although the methods of preparation are not claimed, 1 example preparation and characterization data for 25 similarly prepared examples of I are included. For example, II was prepared by cyclization of benzil with 2-methylindole-3-carboxaldehyde in the presence of NH4OAc in AcOH. Minimal inhibitory concns. (MICs) for 4 examples of I against methicillin-resistant staphylococcus aureus (MRSA) are tabulated; the bactericidal/bacteriostatic effects of these compds. were also studied. In vivo inhibition of MRSA in mice was not as good for these 4 examples of I as for vancomycin; no toxicity symptoms were observed. Addnl. in vivo MRSA antibacterial activities for .apprx.15 examples of I are tabulated. In vitro MIC values against 8 S. aureus strains are tabulated for .apprx.70 examples of I and against 4 other gram-pos. bacteria for 3 examples of I. In vitro antifungal activities for 17 examples of I against C. albicans are included.

OSC. G 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)  
RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD  
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L14 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2004:130975 CAPLUS

DN 141:613

TI NC381, a novel anticancer agent, arrests the cell cycle in G0-G1 and inhibits lung tumor cell growth in vitro and in vivo

AU Cao, Ming-Yu; Lee, Yoon; Feng, Ning-Ping; Al-Qawasmeh, Raed A.; Viau, Stephane; Gu, Xiao-Ping; Lau, Leo; Jin, Hongnan; Wang, Ming; Vassilakos, Aikaterini; Wright, Jim A.; Young, Aiping H.

CS Lorus Therapeutics Inc., Toronto, ON, Can.

S0 Journal of Pharmacology and Experimental Therapeutics (2004), 308(2), 538-546

CODEN: JPETAB; ISSN: 0022-3565

PB American Society for Pharmacology and Experimental Therapeutics

DT Journal

LA English

AB Although clotrimazole (CLT), an antifungal drug, inhibits tumor cell proliferation and angiogenesis, its clin. application is hampered by significant hepatotoxicity due to the presence of an imidazole moiety. In our attempts to develop CLT analogs that are devoid of imidazole and are as efficacious as CLT, one pharmacophore designated NC381 was generated and shown to inhibit tumor cell growth via a mechanism similar to that of CLT. In vitro, treatment of NCI-H460 nonsmall cell lung cancer (NSCLC) cells with NC381 inhibited growth in a time-dependent manner. Flow cytometric anal. demonstrated that the decrease in cell growth was associated with inhibition of cell cycle progression at the G1-S phase transition, resulting in G0-G1 arrest. There was a concomitant inhibition of cyclin D1 expression and subsequent reduction in the formation of the cyclin D1-CDK4 complex. Consistent with a decrease in the cyclin D1-CDK4 complex, NC381 treatment resulted in significant inhibition of pRb phosphorylation. There also were changes in the activity of cell cycle-related proteins, including p16Ink4 and p27Kipl. Together, these results are consistent with a model in which NC381 arrests cell cycle progression via inhibition of the pathway that promotes exit from the G1 phase of the cell cycle. Furthermore, the clin. applicability of NC381 was evaluated in an in vivo murine xenograft model of human NSCLC (NCI-H460). NC381 treatment resulted in significant inhibition of tumor growth. Given the poor prognosis and the limited treatment options available, the present results underscore the potential of NC381 in the treatment of human NSCLC.

OSC.G 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

RE.CNT 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT



L14 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN  
AN 2003:1001964 CAPLUS  
DN 140:314400  
TI Triaryl methane derivatives as antiproliferative agents  
AU Al-Qawasmeh, Raed A.; Lee, Yoon; Cao, Ming-Yu; Gu,  
Xiaoping; Vassilakos, Aikaterini; Wright, Jim A.; Young, Aiping  
CS Lorus Therapeutics Inc., Toronto, ON, M9W 4Z7, Can.  
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(2), 347-350  
CODEN: BMCLE8; ISSN: 0960-894X  
PB Elsevier Science B.V.  
DT Journal  
LA English  
OS CASREACT 140:314400  
AB Clotrimazole (CLT), a synthetic anti-fungal imidazole derivative,  
inhibits tumor cell proliferation and angiogenesis. In the current study,  
flow cytometric anal. demonstrated that the decrease in tumor cell growth  
by CLT was associated with inhibition of cell cycle progression at the G1-S  
phase transition, resulting in G0-G1 arrest. A series of CLT analogs has  
been generated in order to develop CLT derivs. that are devoid of the  
imidazole moiety which is responsible for the hepatotoxicity associated  
with CLT while retaining CLT efficacy. The majority of these analogs  
demonstrate in vitro antiproliferative activity ranging from submicromolar  
to micromolar concns.  
OSC.G 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (9 CITINGS)  
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> s 113 and phenanthroline  
34390 PHENANTHROLINE  
1016 PHENANTHROLINES  
34476 PHENANTHROLINE  
(PHENANTHROLINE OR PHENANTHROLINES)  
L15 1 L13 AND PHENANTHROLINE  
  
=> d bib abs

L15 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2009 ACS on STN

AN 2006:1253138 CAPLUS

DN 146:27831

TI 2-Indolylimidazo[4,5-d]phenanthroquinoline derivatives and their preparation, pharmaceutical compositions and use in the treatment of cancer

IN Huesca, Mario; Young, Aiping H.; Lee, Yoon;

Khine, Aye Aye; Wright, Jim A.; Lock, Lisa

PA Lorus Therapeutics Inc., Can.

S0 PCT Int. Appl., 237 pp.

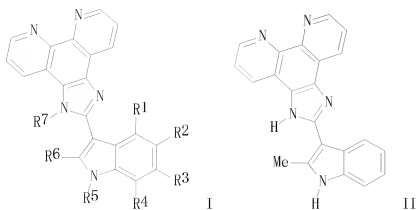
CODEN: PIXXD2

DT Patent

LA English

FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2006126177	A2	20061130	WO 2006-IB51675	20060525
	WO 2006126177	A3	20070329		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
	AU 2006250809	A1	20061130	AU 2006-250809	20060525
	CA 2611032	A1	20061130	CA 2006-2611032	20060525
	EP 1915374	A2	20080430	EP 2006-756007	20060525
	R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR			
	JP 2008542259	T	20081127	JP 2008-513005	20060525
	CN 101248072	A	20080820	CN 2006-80023377	20071227
PRAI	US 2005-684162P	P	20050525		
	US 2005-710551P	P	20050822		
	US 2006-787526P	P	20060331		
	WO 2006-IB51675	W	20060525		
OS	CASREACT 146:27831; MARPAT 146:27831				
GI					



AB 2-Indolyimidazo[4,5-d]phenanthroline compds. of formula I that are capable of intracellular chelation of transition metals and of exerting antiproliferative effects in cancer cells, that are cytostatic and/or cytotoxic, are provided. Compds. of formula I can also induce apoptosis in cancer cells and are thus capable of exerting a cytotoxic effect on cancer cells. The compds. of formula I are also capable of selectively inhibiting the proliferation of one or more of prostate cancer cells, colon cancer cells, non-small lung cancer cells and leukemia cells. The compds. of formula I are also capable of increasing the expression of the zinc-regulated tumor suppressor, KLF4 and thus are useful in inhibiting the proliferation of cancer cells in which KLF4 functions as a tumor-suppressor, including, but not limited to, bladder cancer, cancers of the gastrointestinal tract and various leukemias. Compds. of formula I wherein R1-R4, R6, and R6 are independently H, halo, OH, SH, (un)substituted lower alkyl, (un)substituted lower alkenyl, (un)substituted lower alkynyl, alkoxy, alkylthio, acyl aryloxy, amino, amido, etc.; R5 is H, (un)substituted alkyl, (un)substituted alkenyl, (un)substituted alkynyl, (un)substituted (hetero)aryl, acyl, etc.; and their salts are claimed. Example compound II was prepared by cyclization of phenanthroquinoline with 2-methylindole-3-carboxylic acid. All the invention compds. were evaluated for their antiproliferative activity. From the assay, it was determined that compound II exhibited an IC50 value of 0.6 µg/mL.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his full

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FILE 'REGISTRY' ENTERED AT 15:13:34 ON 10 NOV 2009

L1 STRUCTURE UPLOADED  
D  
L2 4 SEA SSS SAM L1  
D SCA  
L3 91 SEA SSS FUL L1  
D QUE L3 STAT  
L4 90 SEA ABB=ON PLU=ON L3 AND CAPLUS/LC  
L5 1 SEA ABB=ON PLU=ON L3 NOT L4  
D IDE CAN

FILE 'CAPLUS' ENTERED AT 15:15:54 ON 10 NOV 2009

L6 5 SEA ABB=ON PLU=ON L3  
D 1-5 BIB ABS HITSTR

FILE 'MARPAT' ENTERED AT 15:18:00 ON 10 NOV 2009

L7 0 SEA SSS SAM L1  
L8 5 SEA SSS FUL L1  
D QUE L8 STAT  
D 1-5 BIB ABS FQHIT

FILE 'CAPLUS' ENTERED AT 15:20:04 ON 10 NOV 2009

E AL QAWASMEH RAED/AU  
L9 22 SEA ABB=ON PLU=ON ("AL QAWASMEH RAED A"/AU OR "AL QAWASMEH  
RAED A S"/AU)  
E YOUNG AIPING/AU  
L10 41 SEA ABB=ON PLU=ON ("YOUNG AIPING"/AU OR "YOUNG AIPING H"/AU)  
E HUESCA MARIO/AU  
L11 25 SEA ABB=ON PLU=ON ("HUESCA M"/AU OR "HUESCA MARIO"/AU)  
E LEE YOON/AU  
L12 65 SEA ABB=ON PLU=ON "LEE YOON"/AU  
L13 123 SEA ABB=ON PLU=ON L9 OR L10 OR L11 OR L12  
L14 5 SEA ABB=ON PLU=ON L13 AND IMIDAZOLE  
D QUE L14 STAT  
D 1-5 BIB ABS  
L15 1 SEA ABB=ON PLU=ON L13 AND PHENANTHROLINE  
D BIB ABS

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FILE REGISTRY

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FILE COVERS 1907 - 10 Nov 2009 VOL 151 ISS 20

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FILE CONTENT: 1961-PRESENT VOL 151 ISS 18 (20091106/ED)

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DE	102008056811	17 SEP 2009
EP	2100602	16 SEP 2009
JP	2009218369	24 SEP 2009
WO	2009119878	01 OCT 2009
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FR	2928648	18 SEP 2009

RU 2366648 10 SEP 2009  
CA 2653107 08 AUG 2009

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